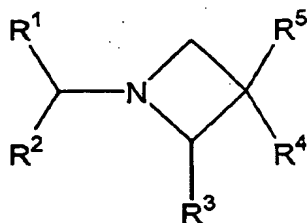


**Claims:**

1. Substituted Azetidine compounds of general formula I,



I

wherein

R<sup>1</sup> represents an optionally at least mono-substituted phenyl group,

R<sup>2</sup> represents a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system, or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system,

R<sup>3</sup> represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or branched alkylene group, or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched alkylene group, with the proviso that R<sup>3</sup> is bonded to the azetidine ring via a carbon atom,

$R^4$  represents a hydrogen atom, a cyano group, a carboxy group, a linear or branched alkyl group, or an optionally at least mono-substituted aryl group,

$R^5$  represents an  $-O-SO_2-R^6$ -moiety, an  $-NH-CO-R^7$ -moiety, an  $-NH_2$ -moiety, an  $-NH-SO_2-R^8$  moiety, an  $-NR^9-SO_2-R^{10}$ -moiety or an  $O-CO-R^{11}$ -moiety,

$R^6$  represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or branched alkylene group, or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched alkylene group,

$R^7$  represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or branched alkylene group, or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched alkylene group,

$R^8$  represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or bridged alkylene group, and/or which may be bridged by a linear or branched alkylene group, or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched alkylene group,

R<sup>9</sup> represents an -SO<sub>2</sub>-R<sup>12</sup>-moiety, a -CO-R<sup>13</sup>-moiety, a linear or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least heteroatom as ring member containing cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded by a linear or branched alkylene group and/or bridged by a linear or branched alkylene group, or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via an alkylene group,

R<sup>10</sup> represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or bridged alkylene group, and/or which may be bridged by a linear or branched alkylene group, or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched alkylene group,

R<sup>11</sup> represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or bridged alkylene group, and/or which may be bridged by a linear or branched alkylene group, or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched alkylene group,

R<sup>12</sup> represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-

system and/or which may be bonded via a linear or bridged alkylene group, and/or which may be bridged by a linear or branched alkylene group, or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched alkylene group,

$R^{13}$  represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or bridged alkylene group, and/or which may be bridged by a linear or branched alkylene group, or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched alkylene group,

optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, a corresponding salt thereof, or a corresponding solvate thereof,

with the proviso that compounds of general formula I, in which  $R^1$  and  $R^2$  each represent an unsubstituted phenyl group,  $R^5$  represents an  $-O-SO_2-R^6$ -moiety and  $R^6$  represents a methyl group are excluded.

2. Compounds according to claim 1, characterized in that  $R^1$  represents a phenyl group, which is optionally substituted by one or more substituents independently selected from the group consisting of a halogen atom, a linear or branched  $C_{1-6}$ -alkyl group, a linear or branched  $C_{1-6}$  alkoxy group, a formyl group, a hydroxy group, a trifluoromethyl group, a trifluoromethoxy group, a  $-CO-C_{1-6}$ -alkyl group, a cyano group, a carboxy group, a  $-CO-O-C_{1-6}$ -alkyl group, a  $-CO-NR^A R^B$ - moiety, a  $-CO-NH-NR^C R^D$ -moiety, an  $-S-C_{1-6}$ -alkyl group, an  $-SO-C_{1-6}$ -alkyl group, an  $-SO_2-C_{1-6}$ -alkyl group, a  $-C_{1-6}$ -alkylene- $S-C_{1-6}$ -alkyl group, a  $-C_{1-6}$ -alkylene- $SO-C_{1-6}$ -

alkyl group, a  $\text{-C}_{1-6}\text{-alkylene-SO}_2\text{-C}_{1-6}\text{-alkyl}$  group, a  $\text{C}_{1-6}\text{-alkyl}$  group substituted by one or more hydroxy groups and a  $\text{-C}_{1-6}\text{-alkylene-NR}^{\text{E}}\text{R}^{\text{F}}$  group,

whereby  $\text{R}^{\text{A}}$ ,  $\text{R}^{\text{B}}$ , identical or different, represent hydrogen or a  $\text{C}_{1-6}\text{-alkyl}$  group, or  $\text{R}^{\text{A}}$  and  $\text{R}^{\text{B}}$  together with the bridging nitrogen atom form a saturated, mono- or bicyclic, 3-10 membered heterocyclic ring system, which may be at least mono-substituted by one or more, identical or different,  $\text{C}_{1-6}$  alkyl groups and/or which may contain at least one further heteroatom selected from the group consisting of nitrogen, oxygen and sulphur as a ring member,

$\text{R}^{\text{C}}$ ,  $\text{R}^{\text{D}}$ , identical or different, represent a hydrogen atom, a  $\text{C}_{1-6}\text{-alkyl}$  group, a  $\text{-CO-O-C}_{1-6}\text{-alkyl}$  group, a  $\text{C}_{3-8}\text{-cycloalkyl}$  group, a  $\text{C}_{1-6}\text{-alkylene-C}_{3-8}\text{-cycloalkyl}$  group,  $\text{C}_{1-6}\text{-alkylene-O-C}_{1-6}\text{-alkyl}$  group or a  $\text{C}_{1-6}\text{-alkyl}$  group substituted with one or more hydroxy groups, or  $\text{R}^{\text{C}}$ ,  $\text{R}^{\text{D}}$  together with the bridging nitrogen atom form a saturated, mono- or bicyclic, 3-10 membered heterocyclic ring system, which may be at least mono-substituted by one or more substituents independently selected from the group consisting of  $\text{C}_{1-6}$  alkyl group, a  $\text{-CO-C}_{1-6}\text{-alkyl}$  group, a  $\text{-CO-O-C}_{1-6}\text{-alkyl}$  group, a  $\text{-CO-NH-C}_{1-6}\text{-alkyl}$  group, a  $\text{-CS-NH-C}_{1-6}\text{-alkyl}$  group, an oxo group, a  $\text{C}_{1-6}\text{-alkyl}$  group substituted with one or more hydroxy groups, a  $\text{C}_{1-6}\text{-alkylene-O-C}_{1-6}\text{-alkyl}$  group and a  $\text{-CO-NH}_2$  group and/or which may contain at least one further heteroatom selected from the group consisting of nitrogen, oxygen and sulphur as a ring member, and

wherein  $\text{R}^{\text{E}}$ ,  $\text{R}^{\text{F}}$ , identical or different, represent hydrogen or a  $\text{C}_{1-6}\text{-alkyl}$  group, or  $\text{R}^{\text{E}}$  and  $\text{R}^{\text{F}}$  together with the bridging nitrogen atom form a saturated, mono- or bicyclic, 3-10 membered heterocyclic ring system, which may be at least mono-substituted by one or more, identical or different  $\text{C}_{1-6}$  alkyl groups and/or which may contain at least one further heteroatom selected from the group consisting of nitrogen, oxygen and sulphur as a ring member,

preferably  $\text{R}^1$  represents a phenyl group, which is optionally substituted by one or more substituents independently selected from the group consisting of a fluorine atom, a chlorine atom, a bromine atom, a linear or branched  $\text{C}_{1-6}\text{-alkyl}$  group, a linear or branched  $\text{C}_{1-6}$  alkoxy group, a formyl group, a hydroxy group, a trifluoromethyl group, a trifluoromethoxy group, a cyano group and a carboxy

group, more preferably  $R^1$  represents a phenyl group, which is optionally substituted by one or more substituents independently selected from the group consisting of a fluorine atom, a chlorine atom, a bromine atom, a methyl group, a methoxy group, a trifluoromethyl group and a trifluoromethoxy group, most preferably  $R^1$  represents a phenyl group, which is substituted by a chlorine atom in the 4-position.

3. Compounds according to claim 1 or 2, characterized in that  $R^2$  represents a saturated or unsaturated, optionally at least mono-substituted, optionally at least heteroatom as ring member containing  $C_{3-8}$  cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system, or an optionally at least mono-substituted, 5- or 6-membered aryl or heteroaryl group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system, preferably  $R^2$  represents a phenyl group, which is optionally substituted by one or more substituents independently selected from the group consisting of a halogen atom, a linear or branched  $C_{1-6}$  alkyl group, a linear or branched  $C_{1-6}$  alkoxy group, a formyl group, a hydroxy group, a trifluoromethyl group, a trifluoromethoxy group, a  $-CO-C_{1-6}$ -alkyl group, a cyano group, a carboxy group, a  $-CO-O-C_{1-6}$ -alkyl group, a  $-CO-NR^A R^B$ - moiety, a  $-CO-NH-NR^C R^D$ -moiety, an  $-S-C_{1-6}$ -alkyl group, an  $-SO-C_{1-6}$ -alkyl group, an  $-SO_2-C_{1-6}$ -alkyl group, a  $-C_{1-6}$ -alkylene- $S-C_{1-6}$ -alkyl group, a  $-C_{1-6}$ -alkylene- $SO-C_{1-6}$ -alkyl group, a  $-C_{1-6}$ -alkylene- $SO_2-C_{1-6}$ -alkyl group, a  $C_{1-6}$ -alkyl group substituted by one or more hydroxy groups and a  $-C_{1-6}$ -alkylene- $NR^E R^F$  group,

whereby  $R^A$ ,  $R^B$ , identical or different, represent hydrogen or a  $C_{1-6}$ -alkyl group, or  $R^A$  and  $R^B$  together with the bridging nitrogen atom form a saturated, mono- or bicyclic, 3-10 membered heterocyclic ring system, which may be at least mono-substituted by one or more, identical or different,  $C_{1-6}$  alkyl groups and/or which may contain at least one further heteroatom selected from the group consisting of nitrogen, oxygen and sulphur as a ring member,

$R^C$ ,  $R^D$ , identical or different, represent a hydrogen atom, a  $C_{1-6}$ -alkyl group, a  $-CO-O-C_{1-6}$ -alkyl group, a  $C_{3-8}$ -cycloalkyl group, a  $C_{1-6}$ -alkylene- $C_{3-8}$ -cycloalkyl group,  $C_{1-6}$ -alkylene- $O-C_{1-6}$ -alkyl group or a  $C_{1-6}$ -alkyl group substituted with one or more hydroxy groups, or  $R^C$ ,  $R^D$  together with the bridging nitrogen atom form

a saturated, mono- or bicyclic, 3-10 membered heterocyclic ring system, which may be at least mono-substituted by one or more substituents independently selected from the group consisting of C<sub>1-6</sub> alkyl group, a -CO-C<sub>1-6</sub>-alkyl group, a -CO-O- C<sub>1-6</sub>-alkyl group, a -CO-NH- C<sub>1-6</sub>-alkyl group, a -CS-NH- C<sub>1-6</sub>-alkyl group, an oxo group, a C<sub>1-6</sub>-alkyl group substituted with one or more hydroxy groups, a C<sub>1-6</sub>-alkylene-O-C<sub>1-6</sub>-alkyl group and a -CO-NH<sub>2</sub> group and/or which may contain at least one further heteroatom selected from the group consisting of nitrogen, oxygen and sulphur as a ring member, and

wherein R<sup>E</sup>, R<sup>F</sup>, identical or different, represent hydrogen or a C<sub>1-6</sub>-alkyl group, or R<sup>E</sup> and R<sup>F</sup> together with the bridging nitrogen atom form a saturated, mono- or bicyclic, 3-10 membered heterocyclic ring system, which may be at least mono-substituted by one or more, identical or different C<sub>1-6</sub> alkyl groups and/or which may contain at least one further heteroatom selected from the group consisting of nitrogen, oxygen and sulphur as a ring member,

more preferably R<sup>2</sup> represents a phenyl group, which is optionally substituted by one or more substituents independently selected from the group consisting of a fluorine atom, a chlorine atom, a bromine atom, a methyl group, a methoxy group, a trifluoromethyl group and a trifluoromethoxy group, most preferably R<sup>2</sup> represents a phenyl group, which is substituted by a chlorine atom in the 4-position.

4. Compounds according to one or more of claims 1-3, characterized in that R<sup>3</sup> represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-10</sub>-aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or branched C<sub>1-6</sub>-alkylene group, or an optionally at least mono-substituted, 5- or 6- membered aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched C<sub>1-6</sub>-alkylene group, preferably R<sup>3</sup> represents a linear or branched, optionally at least mono-substituted C<sub>1-10</sub>-alkyl group, or an optionally at least mono-substituted, 5- or 6- membered

aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched C<sub>1-6</sub>-alkylene group, more preferably R<sup>3</sup> represents a linear or branched, unsubstituted C<sub>1-10</sub>-alkyl group, most preferably R<sup>3</sup> represents a methyl group.

5. Compounds according to one or more of claims 1-4, characterized in that R<sup>4</sup> represents a hydrogen atom, a cyano group, a carboxy group, a linear or branched C<sub>1-10</sub>-alkyl group, or an optionally at least mono-substituted, 5- or 6-membered aryl group, preferably R<sup>4</sup> represents a hydrogen atom, a linear or branched C<sub>1-3</sub>-alkyl group, or an optionally at least mono-substituted phenyl group, more preferably preferably R<sup>4</sup> represents a hydrogen atom or a linear or branched C<sub>1-3</sub>-alkyl group, most preferably R<sup>4</sup> represents a hydrogen atom.
6. Compounds according to one or more of claims 1-5, characterized in that R<sup>5</sup> represents an -O-SO<sub>2</sub>-R<sup>6</sup>-moiety, an -NH-CO-R<sup>7</sup>-moiety, an -NH<sub>2</sub>-moiety, an -NH-SO<sub>2</sub>-R<sup>8</sup> moiety or an -NR<sup>9</sup>-SO<sub>2</sub>-R<sup>10</sup>-moiety, preferably R<sup>5</sup> represents an -O-SO<sub>2</sub>-R<sup>6</sup>-moiety, an -NH-SO<sub>2</sub>-R<sup>8</sup> moiety or an -NR<sup>9</sup>-SO<sub>2</sub>-R<sup>10</sup>-moiety.
7. Compounds according to one or more of claims 1-6, characterized in that R<sup>6</sup> represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-10</sub> aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or branched C<sub>1-6</sub>-alkylene group, or an optionally at least mono-substituted, 5- or 6-membered aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched C<sub>1-6</sub>-alkylene group, preferably R<sup>6</sup> represents an optionally at least mono-substituted C<sub>3-8</sub>-cycloaliphatic group or an optionally at least mono-substituted phenyl group, wherein the respective substituents are independently selected from the group consisting of a fluorine atom, a chlorine atom, a bromine atom, a linear or branched C<sub>1-6</sub>-alkyl group, a linear or branched C<sub>1-6</sub> alkoxy group, a formyl group, a hydroxy group, a trifluoromethyl group, a trifluoromethoxy group, a cyano group and a carboxy group, more preferably R<sup>6</sup> represents a phenyl group, which is



optionally substituted by one or more substituents independently selected from the group consisting of a fluorine atom, a chlorine atom, a bromine atom, a methyl group, a methoxy group, a trifluoromethyl group and a trifluoromethoxy group.

8. Compounds according to one or more of claims 1-7, characterized in that  $R^7$  represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-10}$ -aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or branched  $C_{1-6}$ -alkylene group, or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched  $C_{1-6}$ -alkylene group, preferably  $R^7$  represents a linear or branched, optionally at least mono-substituted  $C_{1-5}$ -alkyl group, a saturated, optionally at least mono-substituted  $C_{5-6}$ -cycloaliphatic group, or an optionally at least mono-substituted phenyl group, more preferably  $R^7$  represents a linear or branched, optionally at least mono-substituted  $C_{1-5}$ -alkyl group, a saturated, optionally at least mono-substituted  $C_{5-6}$ -cycloaliphatic group, or an optionally at least mono-substituted phenyl group, wherein in each case the substituents are independently from one another selected from the group consisting of group consisting of a fluorine atom, a chlorine atom, a bromine atom, a methyl group, a methoxy group, a trifluoromethyl group and a trifluoromethoxy group.
9. Compounds according to one or more of claims 1-8, characterized in that  $R^8$  represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-10}$ -aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or bridged  $C_{1-10}$ -alkylene group and/or which may be bridged by a linear or branched  $C_{1-5}$ -alkylene group, or an optionally at least mono-substituted 5- or 6-membered aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched  $C_{1-10}$ -alkylene group,

preferably  $R^6$  represents a linear or branched  $C_{1-10}$ -alkyl group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{5-6}$ -cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or bridged  $C_{1-3}$ -alkylene group and/or which may be bridged by a linear or branched  $C_{1-3}$ -alkylene group, or an optionally at least mono-substituted 5- or 6-membered aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched  $C_{1-3}$ -alkylene group, more preferably  $R^8$  represents a methyl group, an ethyl group, an n-propyl group, an n-butyl group, an optionally at least mono-substituted phenyl group, an optionally at least mono-substituted benzyl group, an optionally at least mono-substituted naphthyl group, which may be bonded via a  $C_{1-3}$ -alkylene group, an optionally at least mono-substituted thienyl group, an optionally at least mono-substituted 2,1,3-Benzothiadiazole group, an optionally at least mono-substituted Benzo[b]thiophenyl group, an optionally at least mono-substituted Imidazo[2.1-b]thiazole group, an optionally at least mono-substituted 1H-pyrazole group or a 7,7-Dimethyl-2-oxo-bicyclo-[2.2.1]-hept-1-yl group, most preferably  $R^8$  represents a methyl group, an ethyl group, an n-propyl group, an n-butyl group, an optionally at least mono-substituted phenyl group, an optionally at least mono-substituted benzyl group, an optionally at least mono-substituted naphthyl group, which may be bonded via a  $C_{1-3}$ -alkylene group, an optionally at least mono-substituted thienyl group, an optionally at least mono-substituted 2,1,3-Benzothiadiazole group, an optionally at least mono-substituted Benzo[b]thiophenyl group, an optionally at least mono-substituted Imidazo[2.1-b]thiazole group, an optionally at least mono-substituted 1H-pyrazole group or a 7,7-Dimethyl-2-oxo-bicyclo-[2.2.1]-hept-1-yl group, wherein said substituents, if present, are identical or different and selected from the group consisting of a fluorine atom, a chlorine atom, a bromine atom, a methyl group, a formyl group, a phenyl group, a phenoxy group, a phenoxy group substituted with bromine in the 4-position and a methylsulfonyl group.

10. Compounds according to one or more of claims 1-9, characterized in that  $R^9$  represents an  $-SO_2-R^{12}$ -moiety, a  $-CO-R^{13}$ -moiety, a linear or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-10}$  aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least heteroatom as ring member containing  $C_{3-8}$  cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded by a linear or branched  $C_{1-6}$  alkylene group and/or bridged by a linear or branched  $C_{1-6}$  alkylene group, or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a  $C_{1-6}$  alkylene group, preferably  $R^9$  represents an  $-SO_2-R^{12}$ -moiety, a linear or branched  $C_{1-10}$  alkyl group, or an optionally at least mono-substituted phenyl group, which may be bonded via a  $C_{1-2}$  alkylene group, more preferably  $R^9$  represents an  $-SO_2-R^{12}$ -moiety, a linear or branched  $C_{1-3}$  alkyl group, or a phenyl group, which may be bonded via a  $C_{1-2}$  alkylene group and/or substituted with one or more substituents independently selected from the group consisting of a fluorine atom, a chlorine atom and a bromine atom.

11. Compounds according to one or more of claims 1-10, characterized in that  $R^{10}$  represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-10}$ -aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or bridged  $C_{1-10}$ -alkylene group and/or which may be bridged by a linear or branched  $C_{1-5}$ -alkylene group, or an optionally at least mono-substituted 5- or 6-membered aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched  $C_{1-10}$ -alkylene group, preferably  $R^{10}$  represents a linear or branched  $C_{1-10}$ -alkyl group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{5-6}$ -cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or bridged  $C_{1-3}$ -alkylene group and/or which may be bridged by a linear or branched  $C_{1-3}$ -alkylene group, or an optionally at least mono-substituted

5- or 6-membered aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched C<sub>1-3</sub>-alkylene group, more preferably R<sup>10</sup> represents a methyl group, an ethyl group, an n-propyl group, an n-butyl group, an optionally at least mono-substituted phenyl group, an optionally at least mono-substituted benzyl group, an optionally at least mono-substituted naphthyl group, which may be bonded via a C<sub>1-3</sub>-alkylene group, an optionally at least mono-substituted thienyl group, an optionally at least mono-substituted 2,1,3-Benzothiadiazole group, an optionally at least mono-substituted Benzo[b]thiophenyl group, an optionally at least mono-substituted Imidazo[2,1-b]thiazole group, an optionally at least mono-substituted 1H-pyrazole group or a 7,7-Dimethyl-2-oxo-bicyclo-[2.2.1]-hept-1-yl group, most preferably R<sup>10</sup> represents a methyl group, an ethyl group, an n-propyl group, an n-butyl group, an optionally at least mono-substituted phenyl group, an optionally at least mono-substituted benzyl group, an optionally at least mono-substituted naphthyl group, which may be bonded via a C<sub>1-3</sub>-alkylene group, an optionally at least mono-substituted thienyl group, an optionally at least mono-substituted 2,1,3-Benzothiadiazole group, an optionally at least mono-substituted Benzo[b]thiophenyl group, an optionally at least mono-substituted Imidazo[2,1-b]thiazole group, an optionally at least mono-substituted 1H-pyrazole group or a 7,7-Dimethyl-2-oxo-bicyclo-[2.2.1]-hept-1-yl group, wherein said substituents, if present, are identical or different and selected from the group consisting of a fluorine atom, a chlorine atom, a bromine atom, a methyl group, a formyl group, a phenyl group, a phenoxy group, a phenoxy group substituted with bromine in the 4-position and a methylsulfonyl group.

12. Compounds according to one or more of claims 1-11, characterized in that R<sup>11</sup> represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-10</sub>-aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or bridged C<sub>1-10</sub>-alkylene group and/or which may be bridged by a linear or branched C<sub>1-5</sub>-alkylene group, or an optionally at least mono-substituted 5- or 6-membered aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system

and/or which may be bonded via a linear or branched C<sub>1-10</sub>-alkylene group, preferably R<sup>11</sup> represents a linear or branched C<sub>1-10</sub>-alkyl group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>5-6</sub>-cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or bridged C<sub>1-3</sub>-alkylene group and/or which may be bridged by a linear or branched C<sub>1-3</sub>-alkylene group, or an optionally at least mono-substituted 5- or 6-membered aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched C<sub>1-3</sub>-alkylene group, more preferably R<sup>11</sup> represents a methyl group, an ethyl group, an n-propyl group, an n-butyl group, an optionally at least mono-substituted phenyl group, an optionally at least mono-substituted benzyl group, an optionally at least mono-substituted naphthyl group, which may be bonded via a C<sub>1-3</sub>-alkylene group, an optionally at least mono-substituted thienyl group, an optionally at least mono-substituted 2,1,3-Benzothiadiazole group, an optionally at least mono-substituted Benzo[b]thiophenyl group, an optionally at least mono-substituted Imidazo[2.1-b]thiazole group, an optionally at least mono-substituted 1H-pyrazole group or a 7,7-Dimethyl-2-oxo-bicyclo-[2.2.1]-hept-1-yl group, most preferably R<sup>11</sup> represents a methyl group, an ethyl group, an n-propyl group, an n-butyl group, an optionally at least mono-substituted phenyl group, an optionally at least mono-substituted benzyl group, an optionally at least mono-substituted naphthyl group, which may be bonded via a C<sub>1-3</sub>-alkylene group, an optionally at least mono-substituted thienyl group, an optionally at least mono-substituted 2,1,3-Benzothiadiazole group, an optionally at least mono-substituted Benzo[b]thiophenyl group, an optionally at least mono-substituted Imidazo[2.1-b]thiazole group, an optionally at least mono-substituted 1H-pyrazole group or a 7,7-Dimethyl-2-oxo-bicyclo-[2.2.1]-hept-1-yl group, wherein said substituents, if present, are identical or different and selected from the group consisting of a fluorine atom, a chlorine atom, a bromine atom, a methyl group, a formyl group, a phenyl group, a phenoxy group, a phenoxy group substituted with bromine in the 4-position and a methylsulfonyl group.

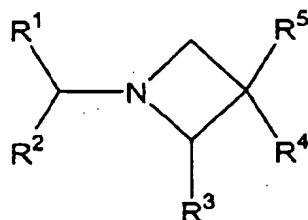
13. Compounds according to one or more of claims 1-12, characterized in that R<sup>12</sup> represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-10</sub>-aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub>-cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or bridged C<sub>1-10</sub>-alkylene group and/or which may be bridged by a linear or branched C<sub>1-5</sub>-alkylene group, or an optionally at least mono-substituted 5- or 6-membered aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched C<sub>1-10</sub>-alkylene group, preferably R<sup>12</sup> represents a linear or branched C<sub>1-10</sub>-alkyl group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>5-6</sub>-cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or bridged C<sub>1-3</sub>-alkylene group and/or which may be bridged by a linear or branched C<sub>1-3</sub>-alkylene group, or an optionally at least mono-substituted 5- or 6-membered aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched C<sub>1-3</sub>-alkylene group, more preferably R<sup>12</sup> represents a methyl group, an ethyl group, an n-propyl group, an n-butyl group, an optionally at least mono-substituted phenyl group, an optionally at least mono-substituted benzyl group, an optionally at least mono-substituted naphthyl group, which may be bonded via a C<sub>1-3</sub>-alkylene group, an optionally at least mono-substituted thienyl group, an optionally at least mono-substituted 2,1,3-Benzothiadiazole group, an optionally at least mono-substituted Benzo[b]thiophenyl group, an optionally at least mono-substituted Imidazo[2.1-b]thiazole group, an optionally at least mono-substituted 1H-pyrazole group or a 7,7-Dimethyl-2-oxo-bicyclo-[2.2.1]-hept-1-yl group, most preferably R<sup>12</sup> represents a methyl group, an ethyl group, an n-propyl group, an n-butyl group, an optionally at least mono-substituted phenyl group, an optionally at least mono-substituted benzyl group, an optionally at least mono-substituted naphthyl group, which may be bonded via a C<sub>1-3</sub>-alkylene group, an optionally at least mono-substituted thienyl group, an optionally at least mono-substituted 2,1,3-Benzothiadiazole group, an optionally at least mono-substituted Benzo[b]thiophenyl group, an optionally at least mono-substituted Imidazo[2.1-

b]thiazole group, an optionally at least mono-substituted 1H-pyrazole group or a 7,7-Dimethyl-2-oxo-bicyclo-[2.2.1]-hept-1-yl group, wherein said substituents, if present, are identical or different and selected from the group consisting of a fluorine atom, a chlorine atom, a bromine atom, a methyl group, a formyl group, a phenyl group, a phenoxy group, a phenoxy group substituted with bromine in the 4-position and a methylsulfonyl group.

14. Compounds according to one or more of claims 1-13, characterized in that  $R^{13}$  represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-10}$ -aliphatic group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or bridged  $C_{1-10}$ -alkylene group and/or which may be bridged by a linear or branched  $C_{1-5}$ -alkylene group, or an optionally at least mono-substituted 5- or 6-membered aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched  $C_{1-10}$ -alkylene group, preferably  $R^{13}$  represents a linear or branched  $C_{1-10}$ -alkyl group, a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{5-6}$ -cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system and/or which may be bonded via a linear or bridged  $C_{1-3}$ -alkylene group and/or which may be bridged by a linear or branched  $C_{1-3}$ -alkylene group, or an optionally at least mono-substituted 5- or 6-membered aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or which may be bonded via a linear or branched  $C_{1-3}$ -alkylene group, more preferably  $R^{13}$  represents a methyl group, an ethyl group, an n-propyl group, an n-butyl group, an optionally at least mono-substituted phenyl group, an optionally at least mono-substituted benzyl group, an optionally at least mono-substituted naphthyl group, which may be bonded via a  $C_{1-3}$ -alkylene group, an optionally at least mono-substituted thienyl group, an optionally at least mono-substituted 2,1,3-Benzothiadiazole group, an optionally at least mono-substituted Benzo[b]thiophenyl group, an optionally at least mono-substituted Imidazo[2.1-b]thiazole group, an optionally at least mono-substituted 1H-pyrazole group or a 7,7-Dimethyl-2-oxo-bicyclo-[2.2.1]-hept-1-yl group, most

preferably  $R^{13}$  represents a methyl group, an ethyl group, an n-propyl group, an n-butyl group, an optionally at least mono-substituted phenyl group, an optionally at least mono-substituted benzyl group, an optionally at least mono-substituted naphthyl group, which may be bonded via a  $C_{1-3}$ -alkylene group, an optionally at least mono-substituted thienyl group, an optionally at least mono-substituted 2,1,3-Benzothiadiazole group, an optionally at least mono-substituted Benzo[b]thiophenyl group, an optionally at least mono-substituted Imidazo[2.1-b]thiazole group, an optionally at least mono-substituted 1H-pyrazole group or a 7,7-Dimethyl-2-oxo-bicyclo-[2.2.1]-hept-1-yl group, wherein said substituents, if present, are identical or different and selected from the group consisting of a fluorine atom, a chlorine atom, a bromine atom, a methyl group, a formyl group, a phenyl group, a phenoxy group, a phenoxy group substituted with bromine in the 4-position and a methylsulfonyl group.

15. Compounds according to one or more of claims 1-14 of general formula I,



I

wherein

$R^1$  represents a phenyl group, which is mono-substituted with a halogen atom, preferably a chlorine atom, in the 4-position of the phenyl ring,

$R^2$  represents a phenyl group, which is mono-substituted with a halogen atom, preferably a chlorine atom, in the 4-position of the phenyl ring,

$R^3$  represents a linear or branched, unsubstituted  $C_{1-6}$  alkyl group, preferably a methyl group,



$R^4$  represents a hydrogen atom,

$R^5$  represents an  $-O-SO_2-R^6$ -moiety, an  $-NH-CO-R^7$ -moiety, an  $-NH_2$ -moiety, an  $-NH-SO_2-R^8$  moiety, or an  $-NR^9-SO_2-R^{10}$ -moiety

$R^6$  represents a phenyl ring, which is optionally substituted with one or more halogen atoms, preferably one or more fluorine and/or one or more chlorine atoms,

$R^7$  represents a linear or branched  $C_{1-5}$  alkyl group, a linear or branched  $C_{1-5}$  alkyl group, which is at least partially fluorinated, a  $C_{3-8}$  cycloalkyl group, or a phenyl group, which is optionally substituted with one or more halogen atoms, preferably one or more fluorine atoms,

$R^8$  represents a linear or branched  $C_{1-5}$  alkyl group,

a phenyl group, which is optionally substituted with one or more substituents independently selected from the group consisting of a fluorine atom, a chlorine atom, an unsubstituted phenyl group, a formyl group, a methylsulfonyl group, a benzyl group and a phenoxy group, which is optionally mono-substituted by a bromine atom in its 4-position,

a naphthyl group, which may be bonded via a methylene or ethylene group,

a Benzo[b]thiophene group, which is optionally substituted with one or more methyl groups and/or one or more chlorine atoms,

a pyrazole group, which is optionally substituted with one or more substituents independently selected from the group consisting of a methyl group, an ethyl group and a phenyl group,

an imidazo[2,1-b]thiazole group, which is optionally substituted with one or more chlorine atoms,

a thienyl group, a furyl group, a 2,1,3-Benzothiadiazole group, a 7,7-Dimethyl-2-oxo-bicyclo-[2.2.1]-hept-1-yl group, or a benzyl group,

R<sup>9</sup> represents a C<sub>1-5</sub> alkyl group, preferably a methyl group, a phenyl group, which is optionally substituted with one or more fluorine atoms and/or one or more chlorine atoms, a benzyl group, wherein the ring is optionally substituted with one or more fluorine atoms and/or one or more chlorine atoms, or a -SO<sub>2</sub>-R<sup>12</sup>-moiety,

R<sup>10</sup> represents a phenyl group, which is optionally substituted with one or more fluorine atoms and/or one or more chlorine atoms,

R<sup>12</sup> represents a C<sub>1-5</sub> alkyl group, preferably a methyl group, or a phenyl group, which is optionally substituted with one or more fluorine atoms and/or one or more chlorine atoms,

optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, a corresponding salt thereof, or a corresponding solvate thereof.

16. Compounds according to one or more of claims 1-15 selected from the group consisting of

- [1] 4-Fluoro-benzenesulfonic acid 1-[trans-bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl ester,
- [2] N-((2S,3R)-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl)-2,2,2-trifluoro-acetamide,
- [3] (2S,3R)-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-ylamine,
- [4] Hexanoic acid {1-[bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-amide,

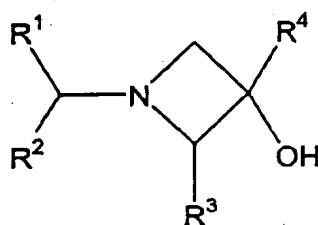
- [5] N-((2S,3R)-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl)-4-fluoro-benzenesulfonamide,
- [6] Thiophene-2-sulfonic acid {(2S,3R)-1-[bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-amide,
- [7] Cyclohexanecarboxylic acid {(2S,3R)-1-[bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-amide,
- [8] Butane-1-sulfonic acid {(2S,3R)-1-[bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-amide,
- [9] N-((2S,3R)-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl)-3,5-difluoro-benzamide,
- [10] Naphthalene-2-sulfonic acid {trans-1-[bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-amide,
- [11] Biphenyl-4-sulfonic acid { trans-1-[bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-amide,
- [12] 4-Acetyl-N-{trans-1-[bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-benzenesulfonamide,
- [13] N-{trans-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-4-(4-bromo-phenoxy)-benzenesulfonamide,
- [14] N-{trans-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-4-methylsulfonyl-benzenesulfonamide,
- [15] 2,1,3-Benzothiadiazole-4-sulfonic acid {trans-1-[bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-amide,

- [16] 5-Chloro-3-methyl-benzo[b]thiophene-2-sulfonic acid {trans-1-[bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-amide,
- [17] 6-Chloro-imidazo[2,1-b]thiazole-5-sulfonic acid {trans-1-[bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-amide,
- [18] N-{ trans-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-3,5-dichloro-benzenesulfonamide,
- [19] 2-Naphthalene-1-yl-ethanesulfonic acid { trans-1-[bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-amide,
- [20] N-{trans-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-phenyl-methylsulfonamide,
- [21] N-{ trans-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-(7,7-dimethyl-2-oxo-bicyclo[2.2.1]hept-1-yl)-methylsulfonamide,
- [22] Naphthalene-1-sulfonic acid { trans-1-[bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-amide,
- [23] N-{ trans-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-4-phenoxy-benzenesulfonamide,
- [24] 1,3,5-Trimethyl-1H-pyrazole-4-sulfonic acid{ trans-1-[bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-amide,
- [25] Benzo[b]thiophene-3-sulfonic acid { trans-1-[bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-amide,
- [26] 5-Methyl-1-phenyl-1H-pyrazole-4-sulfonic acid { trans-1-[bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-amide,

- [27] N-{trans-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-N-methyl-4-fluoro-benzenesulfonamide,
- [28] N-{trans-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-N-(4-fluoro-benzyl)-4-fluoro-benzenesulfonamide,
- [29] N-{trans-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-N-propyl-4-fluoro-benzenesulfonamide,
- [30] N-{trans-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-N-(methylsulphonyl)-4-fluoro-benzenesulfonamide and
- [31] N-{trans-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl}-N-bis(4-fluoro-benzenesulfonamide),
- [32] N-((trans-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl)-4-fluoro-benzenesulfonamide, and
- [33] N-((2R,3S)-1-[Bis-(4-chloro-phenyl)-methyl]-2-methyl-azetidin-3-yl)-4-fluoro-benzenesulfonamide;

optionally in form of a corresponding N-oxide, a corresponding salt or a corresponding solvate.

17. Process for the preparation of substituted azetidine compounds according to one or more of claims 1-16, characterized in that at least one compound of general formula II



II

wherein  $R^1$  to  $R^4$  have the meaning according to one or more of claims 1-16, is reacted with at least one compound of general formula  $X^1\text{-SO}_2\text{-R}^6$  or  $X^2\text{-CO-R}^{11}$ , wherein  $R^6$  and  $R^{11}$  have the meaning according to one or more of claims 1-16 and  $X^1$  and  $X^2$  are leaving groups, in a reaction medium, optionally in the presence of at least one base, to yield at least one compound of general formula I according to claim 1, wherein  $R^5$  represents an  $\text{-O-SO}_2\text{-R}^6$  or a  $\text{-O-CO-R}^{11}$  moiety, and optionally purifying and/or optionally isolating said compound(s),

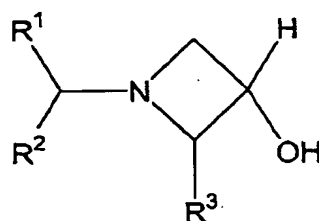
and optionally at least one of these afore mentioned compounds, wherein  $R^5$  represents a  $\text{-O-SO}_2\text{-R}^6$  or an  $\text{-O-CO-R}^{11}$  moiety is reacted with ammonia, to yield a compound of general formula I according to claim 1, wherein  $R^5$  represents an  $\text{-NH}_2$ -moiety, and optionally purifying and/or optionally isolating said compound(s),

and optionally at least one of these afore mentioned compounds, wherein  $R^5$  represents an  $\text{-NH}_2$ -moiety, is reacted with at least one compound of general formula  $X^3\text{-COR}^7$ ,  $X^4\text{-SO}_2\text{-R}^8$  or  $X^5\text{-SO}_2\text{-R}^{10}$ , wherein  $R^7$ ,  $R^8$  and  $R^{10}$  have the meaning according to one or more of claims 1-15 and  $X^3$ ,  $X^4$  and  $X^5$  represent leaving groups, in a reaction medium, optionally in the presence of at least one base, to yield a compound of general formula I according to claim 1, wherein  $R^5$  represents an  $\text{-NH-CO-R}^7$ -moiety, an  $\text{-NH-SO}_2\text{-R}^8$ -moiety, or an  $\text{-NR}^9\text{-SO}_2\text{-R}^{10}$ -

moiety with  $R^9$  representing a hydrogen atom, and optionally purifying and/or optionally isolating said compound(s),

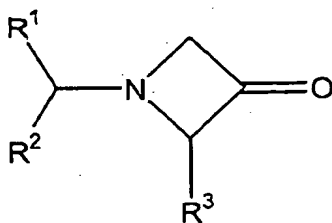
and optionally at least one compound of general formula I, wherein  $R^5$  represents an  $-NR^9-SO_2-R^{10}$ -moiety with  $R^9$  representing a hydrogen atom is reacted with at least one compound of general formula  $X^6-R^9$ , wherein  $R^9$  has the meaning according to one or more of claims 1-16 with the exception of a hydrogen atom, and  $X^6$  represents a leaving group, to yield at least one compound of general formula I according to claim 1, wherein  $R^5$  represents an  $-NR^9-SO_2-R^{10}$ -moiety, and optionally purifying and/or optionally isolating said compound(s),

or, that at least one compound of general formula III,



III

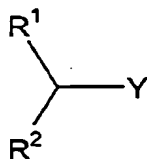
wherein  $R^1-R^3$  have the meaning according to one or more of claims 1-16, is oxidized to yield at least one compound of general formula IV,



IV

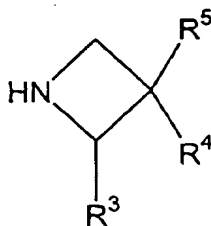
wherein  $R^1$ - $R^3$  have the meaning according to one or more of claims 1-16, which is optionally purified and/or optionally isolated, and reacted with at least one compound of general formula  $R^{5a}H$ , wherein  $R^{5a}$  represents an  $-NH_2$ -moiety or an  $-NHR^9$ -moiety, wherein  $R^9$  has the meaning given above, the resulting compound is optionally purified and/or optionally isolated and optionally reacted with at least one compound of general formula  $X^3-CO-R^7$ ,  $X^4-SO_2-R^8$  or  $X^5-SO_2-R^{10}$ , wherein  $R^7$ ,  $R^8$  and  $R^{10}$  have the meaning given above and  $X^3$ ,  $X^4$  and  $X^5$  represent leaving groups, in a reaction medium, optionally in the presence of at least one base, to yield a compound of general formula I according to one or more of claims 1-16, wherein  $R^5$  represents an  $-NH_2$ -moiety, an  $-NH-CO-R^7$ -moiety, an  $-NH-SO_2-R^8$ -moiety, or an  $-NR^9-SO_2-R^{10}$ -moiety, which is optionally purified and/or isolated.

18. Process for the preparation of substituted azetidine compounds according to one or more of claims 1-16, characterized in that at least one compound of general formula II,



V

wherein Y represents a halogen atom, preferably a chlorine atom or a bromine atom, and  $R^1$  and  $R^2$  have the meaning according to one or more of claims 1-16, is reacted with at least one compound of general formula VI,



VI



optionally in form of a salt, wherein R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> have the meaning according to one or more of claims 1-16, in a suitable reaction medium, optionally in the presence of a base, and the resulting azetidine compound(s) is/are optionally purified and/or optionally isolated.

19. Medicament comprising at least one substituted azetidine compound according to one or more of claims 1-16 inclusive of the disclaimed compounds and optionally one or more pharmaceutically acceptable excipients.

20. Medicament according to claim 19 for the modulation of cannabinoid-receptors, preferably cannabinoid 1 (CB<sub>1</sub>) receptors, for the prophylaxis and/or treatment of disorders of the central nervous system, disorders of the immune system, disorders of the cardiovascular system, disorders of the endocrinous system, disorders of the respiratory system, disorders of the gastrointestinal tract or reproductive disorders.

21. Medicament according to claim 19 or 20 for the prophylaxis and/or treatment of food intake disorders, preferably selected from the group consisting of bulimia, anorexia, cachexia, obesity and type II diabetes mellitus (non-insuline dependent diabetes mellitus), more preferably obesity.

22. Medicament according to claim 19 or 20 for the prophylaxis and/or treatment of psychosis.

23. Medicament according to claim 19 or 20 for the prophylaxis and/or treatment of alcohol abuse and/or alcohol addiction, nicotine abuse and/or nicotine addiction, drug abuse and/or drug addiction and/or medicament abuse and/or medicament addiction, preferably drug abuse and/or drug addiction.

24. Medicament according to claim 19 or 20 for the prophylaxis and/or treatment of one or more disorders selected from the group consisting of schizophrenia, anxiety, depression, epilepsy, neurodegenerative disorders, cerebellar disorders, spinocerebellar disorders, cognitive disorders, cranial trauma, panic attacks, peripheric neuropathy, glaucoma, migraine, Morbus Parkinson, Morbus

Huntington, Morbus Alzheimer, Raynaud's disease, tremblement disorders, compulsive disorders, senile dementia, thymic disorders, tardive dyskinesia, bipolar disorders, cancer, medicament-induced movement disorders, dystonia, endotoxemic shock, hemorrhagic shock, hypotension, insomnia, immunologic disorders, sclerotic plaques, vomiting, diarrhea, asthma, memory disorders, pruritus, pain or for potentiation of the analgesic effect of narcotic and non-narcotic analgesics, or for influencing intestinal transit

25. Use of at least one substituted azetidine compound according to one or more of claims 1-16 inclusive of the disclaimed compounds and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the modulation of cannabinoid-receptors, preferably cannabinoid 1 (CB<sub>1</sub>) receptors, for the prophylaxis and/or treatment of disorders of the central nervous system, disorders of the immune system, disorders of the cardiovascular system, disorders of the endocrinous system, disorders of the respiratory system, disorders of the gastrointestinal tract or reproductive disorders.
26. Use of at least one substituted azetidine compound according to one or more of claims 1-16 inclusive of the disclaimed compounds and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the prophylaxis and/or treatment of food intake disorders, preferably selected from the group consisting of bulimia, anorexia, cachexia, obesity and type II diabetes mellitus (non-insuline dependent diabetes mellitus), more preferably obesity.
27. Use of at least one substituted azetidine compound according to one or more of claims 1-16 inclusive of the disclaimed compounds and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the prophylaxis and/or treatment of psychosis.

28. Use of at least one substituted azetidine compound according to one or more of claims 1-16 inclusive of the disclaimed compounds and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the prophylaxis and/or treatment of alcohol abuse and/or alcohol addiction, nicotine abuse and/or nicotine addiction, drug abuse and/or drug addiction and/or medicament abuse and/or medicament addiction, preferably drug abuse and/or drug addiction.

29. Use of at least one substituted azetidine compound according to one or more of claims 1-16 inclusive of the disclaimed compounds and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the prophylaxis and/or treatment of one or more disorders selected from the group consisting of schizophrenia, anxiety, depression, epilepsy, neurodegenerative disorders, cerebellar disorders, spinocerebellar disorders, cognitive disorders, cranial trauma, panic attacks, peripheral neuropathy, glaucoma, migraine, Morbus Parkinson, Morbus Huntington, Morbus Alzheimer, Raynaud's disease, tremblement disorders, compulsive disorders, senile dementia, thymic disorders, tardive dyskinesia, bipolar disorders, cancer, medicament-induced movement disorders, dystonia, endotoxemic shock, hemorrhagic shock, hypotension, insomnia, immunologic disorders, sclerotic plaques, vomiting, diarrhea, asthma, memory disorders, pruritus, pain, or for potentiation of the analgesic effect of narcotic and non-narcotic analgesics, or for influencing intestinal transit.